

210214f



## UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE  
United States Patent and Trademark Office  
Address: COMMISSIONER FOR PATENTS  
P.O. Box 1450  
Alexandria, Virginia 22313-1450  
www.uspto.gov

APPL NO.	FILING OR 371 (c) DATE	ART UNIT	FIL FEE REC'D	ATTY. DOCKET NO	DRAWINGS	TOT CLMS	IND CLMS
10/500,972	07/02/2004	1626	100	21021YP		20	3

000210  
MERCK AND CO., INC  
P O BOX 2000  
RAHWAY, NJ 07065-0907

RECEIVED  
FEB 11 2005

CONFIRMATION NO. 1833

## FILING RECEIPT



\*OC000000015055382\*

Date Mailed: 02/09/2005

Receipt is acknowledged of this regular Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. If an error is noted on this Filing Receipt, please write to the Office of Initial Patent Examination's Filing Receipt Corrections, facsimile number 703-746-9195. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).

## Applicant(s)

Melissa Egbertson, Ambler, PA;  
Jeffrey Y. Melanmed, Warminster, PA;  
~~Steven D Young, Lansdale, PA;~~  
H. Marie Langford, Lansdale, PA  
Steven D. Young, Lansdale, PA

## Power of Attorney:

Kenneth Walton-32951

## Domestic Priority data as claimed by applicant

This application is a 371 of PCT/US03/00813 01/13/2003  
which claims benefit of 60/349,775 01/17/2002

## Foreign Applications

Projected Publication Date: To Be Determined - pending completion of Security Review

Non-Publication Request: No

Early Publication Request: No

Title

PATENT DEPARTMENT

FEB 14 2005

Folder 210214f  
2/14/05 AS

Hydroxynaphththyridinone carboxamides useful as hiv integrase inhibitors

**Preliminary Class**

544

---

**LICENSE FOR FOREIGN FILING UNDER  
Title 35, United States Code, Section 184  
Title 37, Code of Federal Regulations, 5.11 & 5.15**

**GRANTED**

The applicant has been granted a license under 35 U.S.C. 184, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" followed by a date appears on this form. Such licenses are issued in all applications where the conditions for issuance of a license have been met, regardless of whether or not a license may be required as set forth in 37 CFR 5.15. The scope and limitations of this license are set forth in 37 CFR 5.15(a) unless an earlier license has been issued under 37 CFR 5.15(b). The license is subject to revocation upon written notification. The date indicated is the effective date of the license, unless an earlier license of similar scope has been granted under 37 CFR 5.13 or 5.14.

This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to espionage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Office of Export Administration, Department of Commerce (15 CFR 370.10 (j)); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

**NOT GRANTED**

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).



Appri use through 07/31/2006. OMB 0651-0032  
SUBSTITUTE for PTO/SB/01 (08-03), DECLARATION FOR UTILITY OR DESIGN PATENT APPLICATION

**DECLARATION AND  
POWER OF ATTORNEY  
FOR UTILITY OR DESIGN  
PATENT APPLICATION  
(37 CFR 1.63)**

☒ Declaration  
Submitted  
with Initial  
Filing  
OR  
☐ Declaration  
Submitted after Initial  
Filing (surcharge  
(37 CFR 1.16 (e))  
required)

Attorney Docket Number 21021Y

First Named Inventor Melissa Egbertson, et al.

**COMPLETE IF KNOWN**

Application Number

Filing Date

Group Art Unit

Examiner Name

As a below named inventor, I hereby declare that:

My residence, mailing address, and citizenship are as stated below next to my name.

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

**HYDROXYNAPHTHYRIDINONE CARBOXAMIDES USEFUL AS HIV INTEGRASE INHIBITORS**

the specification of which

(Title of the Invention)

☒ bears the Attorney Docket Number and Title of the Invention noted above

OR

☐ is attached hereto

OR

☐ was filed on (MM/DD/YYYY) [ ] as United States Application Number or PCT International

Application Number [ ] and was amended on (MM/DD/YYYY) [ ] (if applicable).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment specifically referred to above.

I acknowledge the duty to disclose to the Patent and Trademark Office all information known to me to be material to patentability as defined in 37 CFR 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the national or PCT international filing date of the continuation-in-part application.

I hereby claim foreign priority benefits under 35 U.S.C. 119(a)-(d) or (f), or 365(b) of any foreign application(s) for patent or inventor's certificate(s), or 365(a) of any PCT international application which designated at least one country other than the United States of America, listed below and have also identified below, by checking the box, any foreign application for patent or inventor's certificate(s), or of any PCT international application having a filing date before that of the application on which priority is claimed.

Prior Foreign Application Number(s)	Country	Foreign Filing Date (MM/DD/YYYY)	Attorney Docket Number	Priority Claimed? YES NO	
				<input type="checkbox"/>	<input type="checkbox"/>
				<input type="checkbox"/>	<input type="checkbox"/>
				<input type="checkbox"/>	<input type="checkbox"/>
				<input type="checkbox"/>	<input type="checkbox"/>

☐ Additional foreign application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.

I hereby claim the benefit under 35 U.S.C. 119(e) of any United States provisional application(s) listed below.

Application Number(s)	Filing Date (MM/DD/YYYY)	Attorney Docket Number
60/349,775	01/17/2002	21021PV



## DECLARATION AND POWER OF ATTORNEY for Utility or Design Patent Application

I hereby claim the benefit under 35 U.S.C. 120 of any United States application(s), or 365(c) of any PCT international application designating the United States of America, listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States or PCT international application in the manner provided by the first paragraph of 35 U.S.C. 112, I acknowledge the duty to disclose information known to me to be material to patentability as defined in 37 CFR 1.56 which became available between the filing date of the prior application and the national or PCT international filing date of this application.

U.S. Parent Application or PCT Parent Application Number	Parent Filing Date (MM/DD/YYYY)	Parent Patent Number (if applicable)

☐ Additional U.S. or PCT international application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.

As a named inventor, I hereby appoint, respectively and individually, as my attorney(s) or agent(s) with full power of substitution and revocation, the following registered practitioner(s) to prosecute this application and to transact all business in the United States Patent and Trademark Office connected therewith:

☐ Practitioners Associated with the Customer Number

OR

☒ Registered practitioner(s) named below

Name	Registration Number	Name	Registration Number
Kenneth R. Walton	32,951	Valerie J. Camara	35,090

Direct all correspondence to: ☒ Customer Number

Name	Kenneth R. Walton				
Address	Merck & Co., Inc. - Patent Department				
Address	P.O. Box 2000, RY60-30				
City	Rahway	State	NJ	ZIP	07065-0907
Country	USA	Telephone	(732)594-3462	Fax	(732)594-4720

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. 1001 and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

Name of Sole or First Inventor: ☐ A petition has been filed for this unsigned inventor

Given Name (first and middle [if any])	Family Name or Surname
Melissa	Egbertson

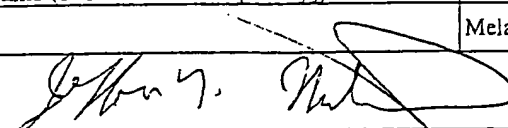
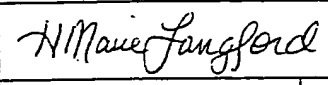
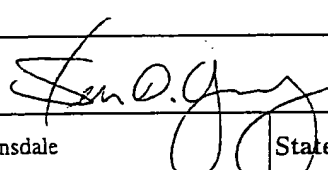
Inventor's Signature	<i>Melissa Egbertson</i>	Date	June 8 2004				
Residence: City	Ambler	State	PA	Country	US	Citizenship	US



Approved for use through 07/31/2006. OMB 0651-0032  
SUBSTITUTE for PTO/SB/02A (08-03), Declaration (Additional Inventors)

## DECLARATION AND POWER OF ATTORNEY

## ADDITIONAL INVENTOR(S) Supplemental Sheet

Name of Additional Joint Inventor, if any:		<input type="checkbox"/> A petition has been filed for this unsigned inventor					
Given Name (first and middle [if any])				Family Name or Surname			
Jeffrey Y.				Melamed			
Inventor's Signature				Date	14-June-2004		
Residence: City	Warminster	State	PA	Country	US	Citizenship	US
Mailing Address	Merck & Co., Inc. P.O. Box 2000						
City	Rahway	State	NJ	ZIP	07065-0907	Country	U.S.A.
Name of Additional Joint Inventor, if any:		<input type="checkbox"/> A petition has been filed for this unsigned inventor					
Given Name (first and middle [if any])				Family Name or Surname			
H. Marie				Langford			
Inventor's Signature				Date	08Jun2004		
Residence: City	Lansdale	State	NJ	Country	US	Citizenship	US
Mailing Address	Merck & Co., Inc. P.O. Box 2000						
City	Rahway	State	NJ	ZIP	07065-0907	Country	U.S.A.
Name of Additional Joint Inventor, if any:		<input type="checkbox"/> A petition has been filed for this unsigned inventor					
Given Name (first and middle [if any])				Family Name or Surname			
Steven D.				Young			
Inventor's Signature				Date	June 9, 2004		
Residence: City	Lansdale	State	PA	Country	US	Citizenship	US
Mailing Address	Merck & Co., Inc. P.O. Box 2000						
City	Rahway	State	NJ	ZIP	07065-0907	Country	U.S.A.
Name of Additional Joint Inventor, if any:		<input type="checkbox"/> A petition has been filed for this unsigned inventor					
Given Name (first and middle [if any])				Family Name or Surname			
Inventor's Signature				Date			
Residence: City		State		Country		Citizenship	
Mailing Address							

DT03 Rec'd PCT/PTO 02 JUL 2004

THE PATENT & TRADEMARK OFFICE ACKNOWLEDGES, AND HAS  
STAMPED HEREON, THE DATE OF THE RECEIPT AND THE ASSIGNED  
SERIAL NUMBER OF THE FOLLOWING PATENT APPLICATION:

CASE NUMBER 21021Y <sup>P</sup>	DATE 7-1-2004	ATTORNEY K.R. Walton	EXPRESS MAIL <input type="checkbox"/> EL 989589214
TITLE "Hydroxynaphthyridinone Carboxamides Useful as HIV Integrase Inhibitors"			
INVENTORS Melissa Egbertson, Jeffrey Y. Melamed, H. Marie Langford, and Steven D. Young			
NO. OF PAGES 142	NO. OF CLAIMS 20	PAGES OF DRAWING --	
DECLARATIONS 1		ACCOUNT CHARGE 13 - 2755 \$154.00	

F1071.3 REV.9/93

10/500972

PATENT

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants: Egbertson et al.

Serial No.: 10/500,942

Docket No.: 21021YP

Filed: July 2, 2004

For: HYDROXYNAPHTHYRIDINONE CARBOXAMIDES  
USEFUL AS HIV INTEGRASE INHIBITORS

DOCKETED  
FEB 15 2005  
KATHY KUTROWSKI

Art Unit:  
Not Yet Assigned

Examiner:  
Not Yet Assigned

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Attention: Office of Initial Patent Examination's  
Filing Receipt Corrections

REQUEST FOR CORRECTED FILING RECEIPT

Sir:

The filing receipt mailed February 9, 2005 contains an error. One of the joint inventors was omitted from the filing receipt. The inventor who should be added is H. Marie Langford, of Lansdale, PA. This inventor is included in the Declaration under 35 U.S.C. 371 and is also listed on the return post card acknowledging receipt of the application by the Patent Office.

A corrected filing receipt is requested. A copy of the filing receipt with the requested changes noted thereon is enclosed, along with a copy of the Declaration as submitted and the return post card. Since this request is not the result of an error by the applicant, no fee is believed due.

Respectfully submitted,

Date: February 15, 2005

By

Kenneth R. Walton

Kenneth R. Walton, Reg. No. 32,951  
Attorney for Applicants

Enclosures

I hereby certify that this correspondence is being deposited with the United States Postal Service as first class mail in an envelope addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, Virginia 22313-1450, on the date appearing below.

MERCK & CO., INC.

By Janice R. Hutto Date 2/15/05

MERCK & CO., INC.

P.O. Box 2000

Rahway, New Jersey 07065-0907

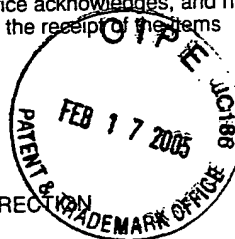
(732) 594-3462

**P&T OFFICE ACKNOWLEDGEMENT**

ATTORNEY K. R. Walton		DATE 2/15/05
CASE NUMBER/ 21021YP	SERIAL NUMBER 10/500,942	
DATE FILED July 2, 2004		
APPLICANT Egbertson et al		
EXPRESS MAIL NO.		

The Patent & Trademark Office acknowledges, and has stamped hereon, the date of the receipt of the items checked below:

- ☐ AMENDMENT
- ☐ APPEAL AND FEE
- ☐ ASSIGNMENT
- ☐ BRIEF
- ☐ CERTIFICATE OF CORRECTION
- ☐ FINAL FEE
- ☒ LETTER - Request for corrected Filing Receipt
- ☐ REQUEST FOR F.F. LICENSE
- ☐ INFORMATION DISCLOSURE STATEMENT
- ☐ PTO 1449 & REFERENCES
- ☐ PETITION FOR EXTENSION OF TIME & FEE
- ☐ INVITATION TO CORRECT
- ☐ DEMAND-CHAPTER II & FEE SHEET
- ☒ Copy of Filing Receipt w/ changes in red
- ☒ Copy of Declaration
- ☒ Copy of postcard showing omitted inventor





### P&T OFFICE ACKNOWLEDGEMENT

ATTORNEY <b>K. R. Walton</b>		DATE <b>12/12/05</b>
CASE NUMBER <b>21021YP</b>	SERIAL NUMBER <b>10/500,942</b>	
DATE FILED <b>July 2, 2004</b>		
APPLICANT <b>Merck &amp; Co., Inc.</b>		
EXPRESS MAIL NO. <b>--</b>		

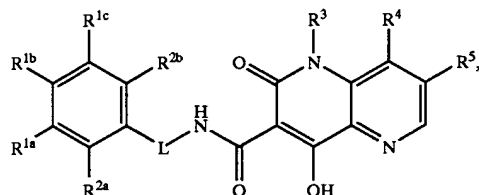
The Patent & Trademark Office acknowledges, and has stamped hereon, the date of the receipt of the items checked below:

- ☐ AMENDMENT
- ☐ APPEAL AND FEE
- ☐ ASSIGNMENT
- ☐ BRIEF
- ☐ CERTIFICATE OF CORRECTION
- ☐ FINAL FEE
- ☐ LETTER
- ☐ REQUEST FOR F.F. LICENSE
- ☐ INFORMATION DISCLOSURE STATEMENT
- ☐ PTO 1449 & REFERENCES
- ☐ PETITION FOR EXTENSION OF TIME & FEE
- ☐ INVITATION TO CORRECT
- ☐ DEMAND-CHAPTER II & FEE SHEET

X - 2nd Request for Corrected Filing Receipt



US 20050119482A1

J.S.N.: 10/500,942  
Response to Restriction Requirement  
Exhibit 2(19) **United States**(12) **Patent Application Publication**  
Egbertson et al.(10) **Pub. No.: US 2005/0119482 A1**(43) **Pub. Date: Jun. 2, 2005**(54) **HYDROXYNAPHTHYRIDINONE  
CARBOXAMIDES USEFUL AS HIV  
INTEGRASE INHIBITORS**(52) **U.S. Cl. .... 544/125; 544/238; 544/333;  
544/405; 546/123; 514/232.5;  
514/252.04; 514/255.05; 514/256;  
514/300**(76) **Inventors: Melissa Egbertson, Ambler, PA (US);  
Jeffrey Y. Melanmed, Warminster, PA  
(US); Steven D Young, Lansdale, PA  
(US)**Correspondence Address:  
**MERCK AND CO., INC  
P O BOX 2000  
RAHWAY, NJ 07065-0907 (US)**(21) **Appl. No.: 10/500,972**(22) **PCT Filed: Jan. 13, 2003**(86) **PCT No.: PCT/US03/00813****Related U.S. Application Data**(60) **Provisional application No. 60/349,775, filed on Jan.  
17, 2002.****Publication Classification**(51) **Int. Cl.<sup>7</sup> ..... C07D 471/02; A61K 31/5377;  
A61K 31/506; A61K 31/501;  
A61K 31/497**(57) **ABSTRACT**  
Hydroxynaphthyridinone carboxamides of formula:

are described as inhibitors of HIV integrase and inhibitors of HIV replication, wherein L, R<sup>1a</sup>, R<sup>1b</sup>, R<sup>1c</sup>, R<sup>2a</sup>, R<sup>2b</sup>, R<sup>3</sup>, R<sup>4</sup>, and R<sup>5</sup> are defined herein. These compounds are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. The compounds are employed against HIV infection and AIDS as compounds per se or in the form of pharmaceutically acceptable salts. The compounds and their salts can be employed as ingredients in pharmaceutical compositions, optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

Missing: H. MARIE LANGFORD

**This Page is Inserted by IFW Indexing and Scanning  
Operations and is not part of the Official Record**

**BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

- ☐ **BLACK BORDERS**
- ☐ **IMAGE CUT OFF AT TOP, BOTTOM OR SIDES**
- ☐ **FADED TEXT OR DRAWING**
- ☐ **BLURRED OR ILLEGIBLE TEXT OR DRAWING**
- ☐ **SKEWED/SLANTED IMAGES**
- ☐ **COLOR OR BLACK AND WHITE PHOTOGRAPHS**
- ☐ **GRAY SCALE DOCUMENTS**
- ☒ **LINES OR MARKS ON ORIGINAL DOCUMENT**
- ☐ **REFERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY**
- ☐ **OTHER: \_\_\_\_\_**

**IMAGES ARE BEST AVAILABLE COPY.**

**As rescanning these documents will not correct the image problems checked, please do not report these problems to the IFW Image Problem Mailbox.**